

## PATENT SPECIFICATION

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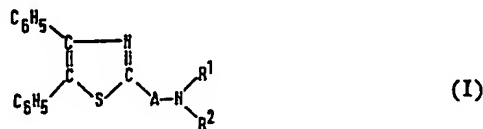


(54) 2-SUBSTITUTED 4,5-DIPHENYLTHIAZOLES AND  
 SYNTHESIS THEREOF

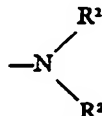
(71) We, SERONO LABORATORIES INC., a Corporation organised and existing under the laws of the State of New York, United States of America, of 607, Boylston Street, Boston, State of Massachusetts, 02116, United States of America, do hereby declare the invention, for which we pray that a patent may be granted to us, and the method by which it is to be performed, to be particularly described in and by the following statement: —

This invention relates to a series of 4,5-diphenyl-thiazole compounds substituted in the 2-position of the thiazole ring and to processes for the preparation thereof. More particularly, this invention relates to 2-(substituted)-amino- and -aminoalkyl-4,5-diphenylthiazoles having chemotherapeutic activity, as well as to intermediates therefor.

According to the present invention there is provided a compound having the formula:



wherein X represents the radical



wherein R<sup>1</sup> and R<sup>2</sup>, when considered separately, are each hydrogen, C<sub>1</sub>—C<sub>4</sub> alkyl, C<sub>1</sub>—C<sub>4</sub> hydroxyalkyl, C<sub>1</sub>—C<sub>4</sub> acyl or acyloxyalkyl having from 1 to 4 carbon atoms in the alkyl moiety or R<sup>1</sup> and R<sup>2</sup>, when taken together with the nitrogen atom to which they are attached, form a heterocyclic amino radical having 5 or 6 ring members; and A represents an alkylene group or a single bond.

One embodiment of the invention is constituted by the class of compounds of formula (I) wherein R<sup>1</sup> and R<sup>2</sup>, when considered separately, are each hydrogen, C<sub>1</sub>—C<sub>4</sub> alkyl, or C<sub>1</sub>—C<sub>4</sub> hydroxyalkyl or R<sup>1</sup> and R<sup>2</sup>, when taken together with the nitrogen atom to which they are attached, form a heterocyclic amino radical having 5 or 6 ring members.

Another embodiment of the invention is a compound having the formula

